19

## **CLAIMS**

1. A triaza-cyclopenta[cd]indene derivative represented by the following formula [I]:

$$R^{1}$$
 $N$ 
 $R^{5}$ 
 $R^{3}$ 
 $N$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

(wherein R<sup>1</sup> and R<sup>2</sup> are the same or different, and independently are hydrogen, C<sub>1</sub>. 6alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyl, hydroxy-C<sub>1</sub>. 6alkyl, cyano-C<sub>1-6</sub>alkyl, carbamoyl-C<sub>1-6</sub>alkyl or di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl, cyano, carbamoyl or aryl;

R<sup>3</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl, halogen, C<sub>1-6</sub>alkoxy, C<sub>3-7</sub>cycloalkyloxy, C<sub>1-6</sub>alkylthio or -N(R<sup>6</sup>)R<sup>7</sup>;

R<sup>4</sup> is hydrogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl or C<sub>3-7</sub>cycloalkyl-C<sub>1-6</sub>alkyl; R<sup>5</sup> is hydrogen, C<sub>1-6</sub>alkyl, aryl-C<sub>1-6</sub>alkyl or carbamoyl;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfinyl, C<sub>1-6</sub>alkylsulfonyl, cyano, nitro, hydroxy, -CO<sub>2</sub>R<sup>8</sup>, -C(=O)R<sup>9</sup>, -CONR<sup>10</sup>R<sup>11</sup>, -OC(=O)R<sup>12</sup>, -NR<sup>13</sup>CO<sub>2</sub>R<sup>14</sup>, -S(=O)<sub>r</sub>NR<sup>15</sup>R<sup>16</sup>, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy and -N(R<sup>17</sup>)R<sup>18</sup>;

 $R^8$  and  $R^{14}$  are the same or different, and independently are hydrogen or  $C_{1-5}$  alkyl,  $C_{3-8}$  cycloalkyl,  $C_{3-8}$  cycloalkyl- $C_{1-5}$  alkyl, aryl or aryl- $C_{1-5}$  alkyl;

R<sup>6</sup>, R<sup>7</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup> are the same or different, and independently are hydrogen, C<sub>1-6</sub>alkyl or C<sub>3-7</sub>cycloalkyl;

r is 1 or 2) or individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

2. The triaza-cyclopenta[cd]indene derivative according to claim 1 represented by the formula [I], wherein R<sup>3</sup> is C<sub>1-6</sub>alkyl; R<sup>4</sup> is hydrogen or C<sub>1-6</sub>alkyl;

WO 2005/066178 PCT/JP2005/000323

20

 $R^5$  is hydrogen or  $C_{1-6}$ alkyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen,  $C_{1-3}$ alkyl,  $C_{1-3}$ alkoxy,  $C_{1-3}$ alkylthio, trifluoromethyl, trifluoromethoxy and  $-N(R^{17})R^{18}$  (wherein  $R^{17}$  and  $R^{18}$  are the same or different, and independently are hydrogen or  $C_{1-3}$ alkyl);  $R^1$ ,  $R^2$  and  $R^4$  are as defined in claim 1, or pharmaceutically acceptable salts and hydrates thereof.

- 3. The triaza-cyclopenta[cd]indene derivative according to claim 1 represented by the formula [I], wherein  $R^3$  is  $C_{1-3}$ alkyl;  $R^5$  is hydrogen or  $C_{1-3}$ alkyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and  $C_{1-3}$ alkyl;  $R^1$ ,  $R^2$  and  $R^4$  are as defined in claim 1, or pharmaceutically acceptable salts and hydrates thereof.
- 4. An antagonist for CRF receptors, comprising a triaza-cyclopenta[cd]indene derivative, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claims 1 to 3, as an active ingredient.
- 5. Use of a triaza-cyclopenta[cd]indene derivative, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claim 1 to 3, for the manufacture of a therapeutic agent as an antagonist for CRF receptors.